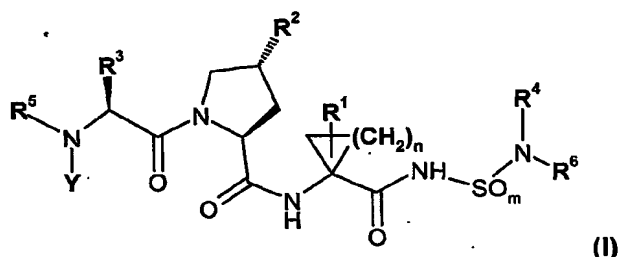


## CLAIMS

**What is claimed is:**

1. A compound of formula I:



5                    wherein

**n** is 1 or 2;

$m$  is 1 or 2:

R<sup>1</sup> is H, (C<sub>1-6</sub>)alkyl, (C<sub>2-6</sub>)alkenyl, or (C<sub>2-6</sub>)alkynyl, wherein each of said (C<sub>1-6</sub>)alkyl, (C<sub>2-6</sub>)alkenyl, or (C<sub>2-6</sub>)alkynyl are optionally substituted with from one to three halogen atoms;

**R<sup>2</sup>** is selected from -CH<sub>2</sub>-R<sup>20</sup>, -NH-R<sup>20</sup>, -O-R<sup>20</sup>, -S-R<sup>20</sup>, -SO-R<sup>20</sup>, -SO<sub>2</sub>-R<sup>20</sup>, -CH<sub>2</sub>O-R<sup>20</sup>, and -O-X-R<sup>20</sup>, wherein

X is (C<sub>2-3</sub>)alkenyl, (C<sub>2-3</sub>)alkynyl, or (C<sub>1-3</sub>)alkyl; and

R<sup>20</sup> is (C<sub>6</sub> or C<sub>10</sub>)aryl or **Het**, wherein said (C<sub>6</sub> or C<sub>10</sub>)aryl or **Het** is optionally substituted with R<sup>200</sup>; wherein

R<sup>200</sup> is one to four substituents each independently selected from H, halogen, cyano, (C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, aryl-(C<sub>1-6</sub>)alkyl-, aryl, **Het**, oxo, thioxo, -OR<sup>201</sup>, -SR<sup>201</sup>, -SOR<sup>201</sup>, -SO<sub>2</sub>R<sup>201</sup>, -N(R<sup>202</sup>)R<sup>201</sup>, and -CON(R<sup>202</sup>)R<sup>201</sup>; wherein each of said alkyl, cycloalkyl, aryl and **Het** is optionally further substituted with p2000.

R<sup>201</sup> in each case is independently selected from H, (C<sub>1-6</sub>)alkyl, (C<sub>2-6</sub>)alkenyl, aryl, -CO-(C<sub>1-6</sub>)alkyl and -CO-O-(C<sub>1-6</sub>)alkyl, wherein each of said alkyl and aryl is optionally further substituted with R<sup>2000</sup>.

R<sup>202</sup> in each case is independently selected from H and (C<sub>1-6</sub>)alkyl;

R<sup>2000</sup> in each case is one to three substituents each independently selected from halogen, aryl, **Het**, -OR<sup>2001</sup>, -SR<sup>2001</sup>, -SOR<sup>2001</sup>, -SO<sub>2</sub>R<sup>2001</sup>, cyano, -N(R<sup>2002</sup>)(R<sup>2001</sup>), and R<sup>2003</sup>, wherein said aryl

and Het are optionally substituted with one, two or three substituents each independently selected from (C<sub>1-6</sub>)alkyl and -O-(C<sub>1-6</sub>)alkyl;

R<sup>2001</sup> in each case is independently selected from aryl, aryl-(C<sub>1-6</sub>)alkyl-,  
5 -C(O)-R<sup>2003</sup>, -C(O)O-R<sup>2003</sup>, -CON(R<sup>2002</sup>XR<sup>2004</sup>) and R<sup>2004</sup>;

R<sup>2002</sup> in each case is independently selected from H and (C<sub>1-6</sub>)alkyl;

R<sup>2003</sup> in each case is independently selected from (C<sub>1-8</sub>)alkyl,  
(C<sub>3-7</sub>)cycloalkyl and (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl-, wherein said  
(C<sub>3-7</sub>)cycloalkyl and (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl- are each  
10 optionally substituted with one to three substituents each  
independently selected from (C<sub>1-3</sub>)alkyl; and

R<sup>2004</sup> in each case is independently selected from H and R<sup>2003</sup>;

R<sup>3</sup> is (C<sub>1-8</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl or (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-3</sub>)alkyl-, each  
optionally substituted with one or more substituents each  
15 independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>2-6</sub>)alkenyl, halogen, cyano,  
-OR<sup>30</sup>, -SR<sup>30</sup>, -C(=O)OR<sup>30</sup>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl,  
-C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, aryl, and  
aryl(Ci<sub>1-6</sub>)alkyl-, wherein R<sup>30</sup> is H, (C<sub>1-6</sub>)alkyl, aryl, or aryl(Ci<sub>1-6</sub>)alkyl-;

R<sup>5</sup> is selected from B, B-C(=O)-, B-O-C(=O)-, B-N(R<sup>51</sup>)-C(=O)-;  
20 B-N(R<sup>51</sup>)-C(=S)-, B-SO<sub>2</sub>- and B-N(R<sup>51</sup>)-SO<sub>2</sub>-; wherein B is selected  
from:

- (i) (C<sub>1-10</sub>)alkyl optionally substituted with one or more substituents  
each selected independently from -COOH, -COO<sup>alkyl</sup>,  
-OH, halogen, -OC(=O)(C<sub>1-6</sub>)alkyl, -O(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>,  
25 -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl  
and -C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>;
- (ii) (C<sub>3-7</sub>)cycloalkyl, or (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl-, each optionally  
substituted with one or more substituents each selected  
independently from (Ci<sub>1-6</sub>)alkyl, halogen, -COOH,  
30 -COO(C<sub>1-6</sub>)alkyl, -OH, -O(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl,  
-N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl and  
-C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>;
- (iii) aryl or aryl(Ci<sub>1-6</sub>)alkyl-, each optionally substituted with one or  
more substituents each selected independently from (Ci<sub>1-6</sub>)alkyl,

-OH, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>,  
-C(=O)NH(C<sub>1-6</sub>)alkyl and -C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>;

(iv) **Het** or Het-(C<sub>1-6</sub>)alkyl-, each optionally substituted with one or more substituents each selected independently from (C<sub>1-6</sub>)alkyl,

5

-OH, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>,  
-C(=O)NH(C<sub>1-6</sub>)alkyl and -C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>; and

(v) (C<sub>2-6</sub>)alkenyl, or (C<sub>2-6</sub>)alkynyl, each optionally substituted with 1 to 3 halogens; and wherein

R<sup>51</sup> is selected from H and (C<sub>1-6</sub>)alkyl;

10

Y is H or (C<sub>1-6</sub>)alkyl;

R<sup>4</sup> and R<sup>6</sup> are each independently selected from H, (C<sub>1-6</sub>)alkyl, -O-(C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-6</sub>)alkyl-, aryl, **Het**, and aryl-(C<sub>1-6</sub>)alkyl-; wherein said (C<sub>1-6</sub>)alkyl, -O-(C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-6</sub>)alkyl-, aryl and aryl-(C<sub>1-6</sub>)alkyl- are each optionally substituted with one or more substituents each independently selected from halogen, (C<sub>1-6</sub>)alkyl, hydroxy, cyano, O-(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-4</sub>)alkyl, -N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -CO-NH<sub>2</sub>, -CO-NH(C<sub>1-4</sub>)alkyl, -CO-N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -COOH, and -COO(C<sub>1-6</sub>)alkyl; or

15

R<sup>4</sup> and R<sup>6</sup> are linked, together with the nitrogen to which they are bonded, to form a 3- to 7-membered monocyclic saturated or unsaturated heterocycle optionally fused to at least one other cycle to form a heteropolycycle, each of said heterocycle and heteropolycycle optionally containing from one to three additional heteroatoms each independently selected from N, S and O, and each of said heterocycle and heteropolycycle being optionally substituted with one or more substituents each independently selected from halogen, (C<sub>1-6</sub>)alkyl, hydroxy, cyano, O-(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-4</sub>)alkyl, -N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -CO-NH<sub>2</sub>, -CO-NH(C<sub>1-4</sub>)alkyl, -CO-N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -COOH, and -COO(C<sub>1-6</sub>)alkyl;

25

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with the proviso that when:

R<sup>5</sup> is B-O-C(=O)- or B-N(R<sup>51</sup>)-C(=O)-, wherein

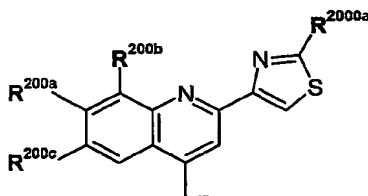
R<sup>51</sup> is H; and

B is selected from (C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, and (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl,

- a) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally mono-, di- or tri-substituted with (d<sub>3</sub>)alkyl; and
- b) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally mono- or di-substituted with substituents selected from hydroxy and O-(C<sub>1-4</sub>)alkyl; and
- c) wherein each of said alkyl groups may be mono-, di- or tri-substituted with halogen; and
- d) wherein in each of said cycloalkyl groups being 4-, 5-, 6- or 7-membered, one (for the 4-, 5-, 6-, or 7-membered) or two (for the 5-, 6- or 7-membered) -CH<sub>2</sub>-groups not directly linked to each other may be replaced by -O- to provide a heterocycle, such that the O-atom is linked to the -O-C(=O) or -N(R<sup>51</sup>)-C(=O) group via at least two carbon atoms; and

R<sup>2</sup> is O-R<sup>20</sup>; then

R<sup>20</sup> cannot be



wherein

R<sup>200a</sup> is H, halogen, (C<sub>1-4</sub>)alkyl, -OH, -O-(C<sub>1-4</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-4</sub>)alkyl or -N((C<sub>1-4</sub>)alkyl)<sub>2</sub>;

R<sup>200b</sup>, R<sup>200c</sup> are each independently halogen, cyano, (C<sub>1-4</sub>)alkyl, -O-(C<sub>1-4</sub>)alkyl, -S-(C<sub>1-4</sub>)alkyl, -SO-(C<sub>1-4</sub>)alkyl, or -SO<sub>2</sub>-(C<sub>1-4</sub>)alkyl, wherein each of said alkyl groups is optionally substituted with from one to three halogen atoms; and either R<sup>200b</sup> or R<sup>200c</sup> (but not both at the same time) may also be H; or

R<sup>200a</sup> and R<sup>200b</sup> or

R<sup>200a</sup> and R<sup>200c</sup> may be covalently bonded to form, together with the two C-atoms to which they are linked, a 5- or 6-membered carbocyclic ring wherein one or two -CH<sub>2</sub>-groups not being directly linked to each other may be replaced each independently by -O- or NR<sup>a</sup> wherein R<sup>a</sup> is H or (C<sub>1-4</sub>)alkyl, and

wherein said carbo- or heterocyclic ring is optionally mono- or di-substituted with (C<sub>1-4</sub>)alkyl; and

$R^{2000}$  is  $R^{2003}$ ,  $-N(R^{2002})COR^{2003}$ ,  $-N(R^{2002})COOR^{2003}$ ,  $-N(R^{2002})(R^{2004})$ , or  $-N(R^{2002})CON(R^{2002})(R^{2004})$ , wherein

5

$R^{2002}$  is H or methyl;

$R^{2003}$  is (C<sub>1-8</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl or (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl-, wherein said (C<sub>3-7</sub>)cycloalkyl and (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl- are optionally mono-, di-, or tri-substituted with (C<sub>1-3</sub>)alkyl; and

$R^{2004}$  is H or  $R^{2003}$ ;

10

wherein Het is defined as a 3- to 7-membered heterocycle having 1 to 4 heteroatoms each independently selected from O, N and S, which may be saturated, unsaturated or aromatic, and which is optionally fused to at least one other cycle to form a 4- to 14-membered heteropolycycle having wherever possible 1 to 5 heteroatoms, each independently selected from O, N and S, said heteropolycycle being saturated, unsaturated or aromatic; or a diastereomer thereof or a salt thereof.

15

2. The compound according to claim 1 wherein

n is 1 or 2;

20

m is 1 or 2;

$R^1$  is H, (C<sub>1-6</sub>)alkyl, (C<sub>2-6</sub>)alkenyl, or (C<sub>2-6</sub>)alkynyl, wherein each of said (C<sub>1-6</sub>)alkyl, (C<sub>2-6</sub>)alkenyl, or (C<sub>2-6</sub>)alkynyl are optionally substituted with from one to three halogen atoms;

$R^2$  is selected from  $-CH_2-R^{20}$ ,  $-NH-R^{20}$ ,  $-O-R^{20}$ ,  $-S-R^{20}$ ,  $-SO-R^{20}$ ,  $-SO_2-R^{20}$ ,  $-CH_2O-R^{20}$ , and  $-O-X-R^{20}$ , wherein

25

X is (C<sub>2-3</sub>)alkenyl, (C<sub>2-3</sub>)alkynyl, or (C<sub>1-3</sub>)alkyl; and

$R^{20}$  is (C<sub>6</sub> or Cio)aryl or Het, wherein said (C<sub>6</sub> or Cio)aryl or Het is optionally substituted with  $R^{200}$ ; wherein

30

$R^{200}$  is one to four substituents each independently selected from H, halogen, cyano, (C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, aryl-(C<sub>1-6</sub>)alkyl-, aryl, Het, oxo, thioxo,  $-OR^{201}$ ,  $-SR^{201}$ ,  $-SOR^{201}$ ,  $-SO_2R^{201}$ ,  $-N(R^{202})R^{201}$ , and  $-CON(R^{202})R^{201}$ ; wherein each of said alkyl, cycloalkyl, aryl and Het is optionally further substituted with  $R^{2000}$ .

- $R^{201}$  in each case is independently selected from H,  $(C_{1-6})$ alkyl,  $(C_{2-6})$ alkenyl, aryl,  $-\text{CO}-(C_{1-6})$ alkyl and  $-\text{CO}-O-(C_{1-6})$ alkyl, wherein each of said alkyl and aryl is optionally further substituted with  $R^{2000}$ ;
- 5  $R^{202}$  in each case is independently selected from H and  $(C_{1-6})$ alkyl;  
 $R^{2000}$  in each case is one to three substituents each independently selected from halogen, aryl, **Het**,  $-\text{OR}^{2001}$ ,  $-\text{SR}^{2001}$ ,  $-\text{SOR}^{2001}$ ,  $-\text{SO}_2\text{R}^{2001}$ , cyano,  $-\text{N}(\text{R}^{2002})(\text{R}^{2001})$ , and  $\text{R}^{2003}$ , wherein said aryl and **Het** are optionally substituted with one, two or three substituents each independently selected from  $(C_{1-6})$ alkyl and  $-\text{O}-(C_{1-6})$ alkyl;
- 10  $R^{2001}$  in each case is independently selected from aryl, aryl- $(C_{1-6})$ alkyl-,  $-\text{C}(\text{O})-\text{R}^{2003}$ ,  $-\text{C}(\text{O})\text{O}-\text{R}^{2003}$ ,  $-\text{CON}(\text{R}^{2002}\text{XR}^{2004})$  and  $\text{R}^{2004}$ ;  
 $R^{2002}$  in each case is independently selected from H and  $(C_{1-6})$ alkyl;
- 15  $R^{2003}$  in each case is independently selected from  $(C_{1-8})$ alkyl,  $(C_{3-7})$ cycloalkyl and  $(C_{3-7})$ cycloalkyl- $(C_{1-4})$ alkyl-, wherein said  $(C_{3-7})$ cycloalkyl and  $(C_{3-7})$ cycloalkyl- $(C_{1-4})$ alkyl- are each optionally substituted with one to three substituents each independently selected from  $(C_{1-3})$ alkyl; and
- 20  $R^{2004}$  in each case is independently selected from H and  $\text{R}^{2003}$ ;
- $\text{R}^3$  is  $(C_{1-8})$ alkyl,  $(C_{3-7})$ cycloalkyl or  $(C_{3-7})$ cycloalkyl- $(C_{1-3})$ alkyl-, each optionally substituted with one or more substituents each independently selected from  $(C_{1-6})$ alkyl,  $(C_{2-6})$ alkenyl, halogen, cyano,  $-\text{OR}^{30}$ ,  $-\text{SR}^{30}$ ,  $-\text{C}(=\text{O})\text{OR}^{30}$ ,  $-\text{C}(=\text{O})\text{NH}_2$ ,  $-\text{C}(=\text{O})\text{NH}(C_{1-6})$ alkyl,
- 25  $-\text{C}(=\text{O})\text{N}((C_{1-6})\text{alkyl})_2$ ,  $-\text{NH}_2$ ,  $-\text{NH}(C_{1-6})$ alkyl,  $-\text{N}((C_{1-6})\text{alkyl})_2$ , aryl, and aryl- $(C_{1-6})$ alkyl-, wherein  $\text{R}^{30}$  is H,  $(C_{1-6})$ alkyl, aryl, or aryl- $\text{KC}^{\wedge}\text{alkyl}$ -;
- $\text{R}^5$  is selected from B,  $\text{B}-\text{C}(=\text{O})$ -,  $\text{B}-\text{O}-\text{C}(=\text{O})$ -,  $\text{B}-\text{N}(\text{R}^{51})-\text{C}(=\text{O})$ -,  $\text{B}-\text{N}(\text{R}^{51})-\text{C}(=\text{S})$ -,  $\text{B}-\text{SO}_2$ - and  $\text{B}-\text{N}(\text{R}^{51})-\text{SO}_2$ -; wherein B is selected from:
- 30 (i)  $(C_{1-10})$ alkyl optionally substituted with one or more substituents each selected independently from  $-\text{COOH}$ ,  $-\text{COO}(C_{1-6})$ alkyl,  $-\text{OH}$ , halogen,  $-\text{OC}(=\text{O})(C_{1-6})$ alkyl,  $-\text{O}(C_{1-6})$ alkyl,  $-\text{NH}_2$ ,  $-\text{NH}(C_{1-6})$ alkyl,  $-\text{N}((C_{1-6})\text{alkyl})_2$ ,  $-\text{C}(=\text{O})\text{NH}_2$ ,  $-\text{C}(=\text{O})\text{NH}(C_{1-6})$ alkyl and  $-\text{C}(=\text{O})\text{N}((C_{1-6})\text{alkyl})_2$ ;

- 5 (ii) (C<sub>3-7</sub>)cycloalkyl, or (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-6</sub>)alkyl-, each optionally substituted with one or more substituents each selected independently from (C<sub>1-6</sub>)alkyl, halogen, -COOH, -COOCuOalkyl, -OH, -O(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl and -C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>;
- 10 (iii) aryl or aryl(C<sub>1-6</sub>)alkyl-, each optionally substituted with one or more substituents each selected independently from (C<sub>1-6</sub>)alkyl, -OH, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl and -C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>;
- 15 (iv) **Het** or Het-(C<sub>1-6</sub>)alkyl-, each optionally substituted with one or more substituents each selected independently from (C<sub>1-6</sub>)alkyl, -OH, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl and -C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>; and
- (v) (C<sub>2-6</sub>)alkenyl, or (C<sub>2-6</sub>)alkynyl, each optionally substituted with 1 to 3 halogens; and wherein
- R<sup>51</sup> is selected from H and (C<sub>1-6</sub>)alkyl;
- Y is H or (C<sub>1-6</sub>)alkyl;
- 20 R<sup>4</sup> and R<sup>6</sup> are each independently selected from H, (C<sub>1-6</sub>)alkyl, -O-(C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-6</sub>)alkyl-, aryl, **Het**, and aryl-(C<sub>1-6</sub>)alkyl-; wherein said (C<sub>1-6</sub>)alkyl, -O-(C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-6</sub>)alkyl-, aryl and aryl-(C<sub>1-6</sub>)alkyl- are each optionally substituted with one or more substituents each independently selected from halogen, (C<sub>1-6</sub>)alkyl, hydroxy, cyano, O-(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-4</sub>)alkyl, -N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -CO-NH<sub>2</sub>, -CO-NH(C<sup>^</sup>)alkyl, -CO-N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -COOH, and -COO(C<sub>1-6</sub>)alkyl; or
- 25 R<sup>4</sup> and R<sup>6</sup> are linked, together with the nitrogen to which they are bonded, to form a 3- to 7-membered monocyclic saturated or unsaturated heterocycle optionally fused to at least one other cycle to form a heteropolycycle, each of said heterocycle and heteropolycycle
- 30 optionally containing from one to three additional heteroatoms each independently selected from N, S and O, and each of said heterocycle and heteropolycycle being optionally substituted with one or more substituents each independently selected from halogen, (C<sub>1-6</sub>)alkyl,

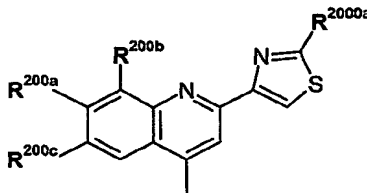
hydroxy, cyano,  $O-(C_{1-6})alkyl$ ,  $-NH_2$ ,  $-NH(C_{1-4})alkyl$ ,  $-N((C_{1-4})alkyl)_2$ ,  
 $-CO-NH_2$ ,  $-CO-NH(C_{1-4})alkyl$ ,  $-CO-N((C_{1-4})alkyl)_2$ ,  $-COOH$ , and  
 $-COO(C_{1-6})alkyl$ ;

with the proviso that when:

- 5  $R^5$  is  $B-O-C(=O)-$  or  $B-N(R^{51})-C(=O)-$ , wherein  
 $R^{51}$  is H; and  
 $B$  is selected from  $(C_{1-10})alkyl$ ,  $(C_{3-7})cycloalkyl$ , and  
 $(C_{3-7})cycloalkyl-(C_{1-4})alkyl$ ,  
10 a) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally  
mono-, di- or tri-substituted with  $(C_{1-3})alkyl$ ; and  
b) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally  
mono- or di-substituted with substituents selected from hydroxy and  
 $O-(C_{1-4})alkyl$ ; and  
15 c) wherein each of said alkyl groups may be mono-, di- or tri-  
substituted with halogen; and  
d) wherein in each of said cycloalkyl groups being 4-, 5-, 6- or  
7-membered, one (for the 4-, 5-, 6-, or 7-membered) or two (for the  
5-, 6- or 7-membered)  $-CH_2-$  groups not directly linked to each other  
20 may be replaced by  $-O-$  to provide a heterocycle, such that the  $O$ -  
atom is linked to the  $-O-C(=O)$  or  $-N(R^{51})-C(=O)$  group via at least  
two carbon atoms; and

$R^2$  is  $O-R^{20}$ ; then

$R^{20}$  cannot be



25 wherein

$R^{200a}$  is H, halogen,  $(C_{1-4})alkyl$ ,  $-OH$ ,  $-O-(C_{1-4})alkyl$ ,  $-NH_2$ ,  $-NH(C_{1-4})alkyl$   
or  $-N((C_{1-4})alkyl)_2$ ;

$R^{200b}$ ,  $R^{200c}$  are each independently halogen, cyano,  $(C_{1-4})alkyl$ ,  
 $-O-(C_{1-4})alkyl$ ,  $-S-(C_{1-4})alkyl$ ,  $-SO-(C_{1-4})alkyl$ , or  $-SO_2-(C_{1-4})alkyl$ ,  
30 wherein each of said alkyl groups is optionally substituted with



from one to three halogen atoms; and either R<sup>200b</sup> or R<sup>200c</sup> (but not both at the same time) may also be H; or

R<sup>200a</sup> and R<sup>200b</sup> or

R<sup>200a</sup> and R<sup>200c</sup> may be covalently bonded to form, together with the two

5

C-atoms to which they are linked, a 5- or 6-membered

carbocyclic ring wherein one or two -CH<sub>2</sub>-groups not being

directly linked to each other may be replaced each

independently by -O- or NR<sup>a</sup> wherein R<sup>a</sup> is H or (C<sub>1-4</sub>)alkyl, and

wherein said carbo- or heterocyclic ring is optionally mono- or

10

di-substituted with (C<sub>1-4</sub>)alkyl; and

R<sup>2000a</sup> is R<sup>2003</sup>, -N(RaOO<sub>2</sub>)C(=O)R<sup>2003</sup>, -N(R<sup>2002</sup>)COO R<sup>2003</sup>, -N(R<sup>2002</sup>)(R<sup>2004</sup>), or -N(R<sup>2002</sup>)CON(R<sup>2002</sup>)(R<sup>2004</sup>), wherein

R<sup>2002</sup> is H or methyl;

R<sup>2003</sup> is (C<sub>1-8</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl or (C<sub>3-7</sub>)cycloalkyl-(C<sub>1</sub>)alkyl,

15

wherein said (C<sub>3-7</sub>)cycloalkyl and (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl- are optionally mono-, di-, or tri-substituted with (C<sub>1-3</sub>)alkyl; and

R<sup>2004</sup> is H or R<sup>2003</sup>;

and with the further proviso that when:

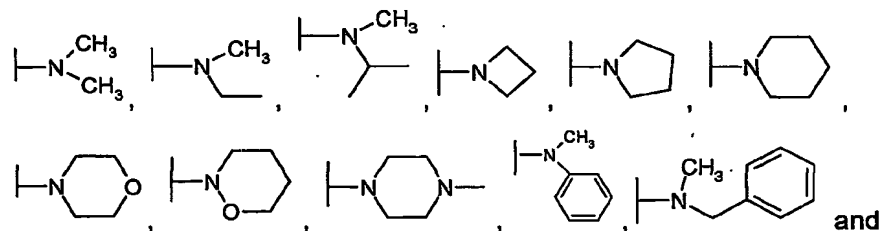
R<sup>5</sup> is B-O-C(=O)- and B is selected from methyl and 1,1-dimethylethyl; and

20

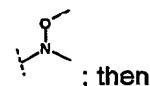
R<sup>3</sup> is 1,1-dimethylethyl; and

R<sup>1</sup> is ethenyl; and

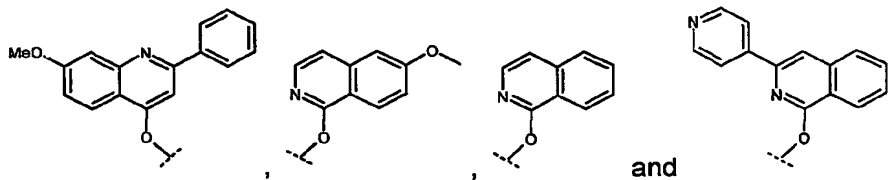
the group -N(R<sup>4</sup>)R<sup>6</sup> is selected from:



25



R<sup>2</sup> is not selected from:



wherein Het is defined as a 3- to 7-membered heterocycle having 1 to 4 heteroatoms each independently selected from O, N and S, which may be saturated, unsaturated or aromatic, and which is optionally fused to at least one other cycle to form a 4- to 14-membered heteropolycycle having wherever possible 1 to 5 heteroatoms, each independently selected from O, N and S, said heteropolycycle being saturated, unsaturated or aromatic; or a diastereomer thereof or a salt thereof.

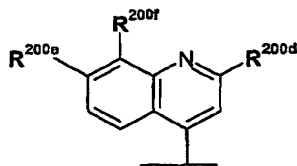
3. The compound according to one or more of the preceding claims wherein  $R^5$  is selected from B-C(O)-, B-O-C(O)-, and B-N( $R^{51}$ )-C(=O)-; wherein B and  $R^{51}$  are defined as in claim 1.
4. The compound according to claim 3 wherein  $R^{51}$  is H and B is selected from:
  - (i)  $(C_{1-7})$ alkyl optionally substituted with one or two or three substituents each independently selected from fluoro, chloro, bromo, hydroxy, methoxy and ethoxy; or optionally substituted with  $-COOCH_3$ ;
  - (ii)  $(C_{3-7})$ cycloalkyl, or  $(Cs-^A$ cycloalkyl-methyl-, each optionally substituted with one or two substituents each independently selected from methyl, ethyl, hydroxy, methoxy and ethoxy;
  - (iii) benzyl; and
  - (iv) Het, wherein Het comprises a 3-, 4-, 5-, 6-, or 7-membered heterocycle having one to four heteroatoms each independently selected from O, N, and S, which may be saturated or unsaturated or aromatic.
5. The compound according to one or more of the preceding claims wherein Y is H.
6. The compound according to one or more of the preceding claims wherein  $R^3$  is  $(C_{1-8})$ alkyl or  $(C_{3-7})$ cycloalkyl, the  $(C_{1-8})$ alkyl being optionally substituted with

hydroxy, (C<sub>1-6</sub>)alkoxy or -C(=O)OR<sup>30</sup>, wherein R<sup>30</sup> is (C<sub>1-6</sub>)alkyl or aryl(C<sub>1-6</sub>)alkyl-.

7. The compound according to one or more of the preceding claims wherein R<sup>2</sup> is selected from -O-R<sup>20</sup>, -S-R<sup>20</sup>, and -O-X-R<sup>20</sup>, wherein R<sup>20</sup> and X are defined as in claim 1.

8. The compound according to claim 7 wherein R<sup>2</sup> is -O-X-R<sup>20</sup>, wherein X is (C<sub>3</sub>)alkynyl and R<sup>20</sup> is (C<sub>6</sub> or C<sub>10</sub>)aryl.

9. The compound according to claim 7 wherein R<sup>2</sup> is -O-R<sup>20</sup>, wherein R<sup>20</sup> is



wherein

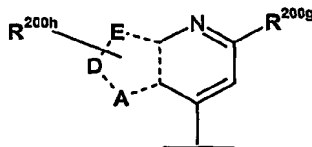
R<sup>200d</sup> is -O-R<sup>201</sup>, wherein R<sup>201</sup> is (C<sub>1-6</sub>)alkyl;

R<sup>200a</sup> is H or -OR<sup>201</sup>, wherein R<sup>201</sup> is (C<sub>1-6</sub>)alkyl; and

R<sup>200f</sup> is (C<sub>1-6</sub>)alkyl, halogen, -SR<sup>201</sup>, -SO<sub>2</sub>R<sup>201</sup>, or -OR<sup>201</sup>, wherein R<sup>201</sup> is (C<sub>1-6</sub>)alkyl optionally further substituted with (C<sub>3-7</sub>)cycloalkyl or phenyl.

10. The compound according to claim 9 wherein R<sup>200d</sup> is -OR<sup>201</sup> wherein R<sup>201</sup> is ethyl.

11. The compound according to claim 7 wherein R<sup>2</sup> is -O-R<sup>20</sup>, wherein R<sup>20</sup> is



wherein

one of A, D, and E represents a S atom and the other two of A, D, and E represent C atoms;

— represents a single bond between a C atom and an S atom, and

represents a single bond or a double bond between two C atoms; provided

that each C atom is bonded by one double bond;

R<sup>200g</sup> is H or -OR<sup>201</sup>, wherein R<sup>201</sup> is (C<sub>1-6</sub>)alkyl or (C<sub>2-6</sub>)alkenyl; and

R<sup>200h</sup> is one or two substituents each independently selected from H, cyano, (C<sub>1-6</sub>)alkyl and -SO<sub>2</sub>-(C<sub>1-6</sub>)alkyl; wherein each R<sup>200h</sup> is bonded to a C atom

5 which would otherwise bear a hydrogen atom.

12. The compound according to one or more of the preceding claims wherein n is 1.

10 13. The compound according to one or more of the preceding claims wherein R<sup>1</sup> is (C<sub>2-6</sub>)alkenyl or (C<sub>2-6</sub>)alkyl.

14. The compound according to one or more of the preceding claims wherein m is 2.

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15. The compound according to one or more of the preceding claims wherein:

- 20 (i) R<sup>4</sup> and R<sup>6</sup> are each independently selected from H, (C<sub>1-6</sub>)alkyl, -O-(C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-6</sub>)alkyl-, aryl and aryl-(C<sub>1-6</sub>)alkyl-; wherein said (C<sub>1-6</sub>)alkyl, -O-(C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-6</sub>)alkyl-, aryl and aryl-(C<sub>1-6</sub>)alkyl- are each optionally substituted with one to three substituents each independently selected from halogen, (C<sub>1-6</sub>)alkyl, hydroxy, cyano, O-(C<sub>1-6</sub>)alkyl, -COOH, and -COO(C<sub>1-6</sub>)alkyl; or
- 25 (ii) R<sup>4</sup> and R<sup>6</sup> are linked, together with the nitrogen to which they are bonded, to form a 3- to 7-membered monocyclic saturated or unsaturated heterocycle, said heterocycle optionally containing from one to three additional heteroatoms each independently selected from N, S and O, and said 3- to 7-membered monocyclic saturated or unsaturated heterocycle being optionally substituted with one to three
- 30 substituents each independently selected from halogen, (C<sub>1-6</sub>)alkyl, hydroxy, cyano, O-(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-4</sub>)alkyl, -N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -COOH, and -COO(C<sub>1-6</sub>)alkyl.

16. The compound according to claim 1 wherein:
- n is 1 or 2;
- m is 1 or 2;
- R<sup>1</sup> is H, (C<sub>1-6</sub>)alkyl, (C<sub>2-6</sub>)alkenyl, or (C<sub>2-6</sub>)alkynyl, wherein said (C<sub>1-6</sub>)alkyl, (C<sub>2-6</sub>)alkenyl, or (C<sub>2-6</sub>)alkynyl are optionally substituted with from one to three halogen atoms;
- R<sup>2</sup> is selected from -CH<sub>2</sub>-R<sup>20</sup>, -NH-R<sup>20</sup>, -O-R<sup>20</sup>, -S-R<sup>20</sup>, -SO-R<sup>20</sup>, -SO<sub>2</sub>-R<sup>20</sup>, -CH<sub>2</sub>O-R<sup>20</sup>, and -O-X-R<sup>20</sup>, wherein
- X is (C<sub>2-3</sub>)alkenyl, (C<sub>2-3</sub>)alkynyl, or (C<sub>1-3</sub>)alkyl; and
- R<sup>20</sup> is (C<sub>6</sub> or C<sub>10</sub>)aryl or Het, wherein said (C<sub>6</sub> or C<sub>10</sub>)aryl or Het is optionally mono-, di-, tri- or tetra-substituted with R<sup>200</sup>, wherein each R<sup>200</sup> is independently selected from H, halogen, cyano, (C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, aryl-(C<sub>1-6</sub>)alkyl-, aryl, Het, oxo, thioxo, -OR<sup>201</sup>, -SR<sup>201</sup>, -SOR<sup>201</sup>, -SO<sub>2</sub>R<sup>201</sup>, -N(R<sup>202</sup>)R<sup>201</sup>, and -CON(R<sup>202</sup>)R<sup>201</sup>; wherein each of said alkyl, cycloalkyl, aryl and Het is optionally further substituted with R<sup>2000</sup>;
- R<sup>201</sup> in each case is independently selected from H, (C<sub>1-6</sub>)alkyl, aryl, -CO-(C<sub>1-6</sub>)alkyl and -CO-O-(C<sub>1-6</sub>)alkyl, wherein each of said alkyl and aryl is optionally further substituted with R<sup>2000</sup>;
- R<sup>202</sup> is H or (C<sub>1-6</sub>)alkyl;
- R<sup>2000</sup> is one to three substituents each independently selected from halogen, aryl, Het, -OR<sup>2001</sup>, -SR<sup>2001</sup>, -SOR<sup>2001</sup>, -SO<sub>2</sub>R<sup>2001</sup>, cyano, -N(R<sup>2002</sup>XR<sup>2001</sup>), and R<sup>2003</sup>, wherein said aryl and Het are optionally substituted with one, two or three substituents selected from (C<sub>1-6</sub>)alkyl and -O-(C<sub>1-6</sub>)alkyl;
- R<sup>2001</sup> in each case is independently selected from aryl, aryl-(C<sub>1-6</sub>)alkyl-, -C(O)-R<sup>2003</sup>, -C(O)O-R<sup>2003</sup>, -CON(R<sup>2002</sup>XR<sup>2004</sup>) and R<sup>2004</sup>;
- R<sup>2002</sup> is H or (C<sub>1-6</sub>)alkyl;
- R<sup>2003</sup> is (C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl or (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl-, wherein said (C<sub>3-7</sub>)cycloalkyl and (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl- are optionally mono-, di-, or tri-substituted with (C<sub>1-3</sub>)alkyl; and
- R<sup>2004</sup> is H or R<sup>2003</sup>;
- R<sup>3</sup> is (C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl or (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-3</sub>)alkyl-, each optionally substituted with one or more substituents independently

- selected from (C<sub>1-6</sub>)alkyl, (C<sub>2-6</sub>)alkenyl, halogen, cyano, -OR<sup>30</sup>, -SR<sup>30</sup>, -C(=O)OR<sup>30</sup>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl, C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, aryl, and aryl(C<sub>1-6</sub>)alkyl-, wherein R<sup>30</sup> is H, (C<sub>1-6</sub>)alkyl, aryl, or aryl(C<sub>1-6</sub>)alkyl-;
- 5            R<sup>5</sup> is selected from B, B-C(=O)-, B-O-C(=O)-, B-N(R<sup>51</sup>)-C(=O)-; B-N(R<sup>51</sup>)-C(=S)-, B-SO<sub>2</sub>- and B-N(R<sup>51</sup>)-SO<sub>2</sub>-; wherein B is selected from:
- 10            (i) (C<sub>i-io</sub>)alkyl optionally substituted with one or more substituents each selected independently from -COOH, -COO(C<sub>1-6</sub>)alkyl, -OH, halogen, -OC(=O)(C<sub>1-6</sub>)alkyl, -O(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl and -C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>;
- 15            (ii) (C<sub>3-7</sub>)cycloalkyl, or (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl-, each optionally substituted with one or more substituents each selected independently from (d<sub>6</sub>)alkyl, halogen, -COOH, -COO(C<sub>i-6</sub>)alkyl, -OH, -O(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl and C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>;
- 20            (iii) aryl or aryl(C<sub>1-6</sub>)alkyl-, each optionally substituted with one or more substituents each selected independently from (C<sub>1-6</sub>)alkyl, -OH, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl and C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>;
- 25            (iv) **Het** or Het-(C<sub>1-6</sub>)alkyl-, each optionally substituted with one or more substituents each selected independently from (C<sub>1-6</sub>)alkyl, -OH, -NH<sub>2</sub>, -NH(C<sub>1-6</sub>)alkyl, -N((C<sub>1-6</sub>)alkyl)<sub>2</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NH(C<sub>1-6</sub>)alkyl and C(=O)N((C<sub>1-6</sub>)alkyl)<sub>2</sub>; and
- 30            (v) (C<sub>2-6</sub>)alkenyl, or (C<sub>2-6</sub>)alkynyl, each optionally substituted with 1 to 3 halogens; and wherein R<sup>51</sup> is selected from H and (C<sub>1-6</sub>)alkyl;
- Y is H or (C<sub>i-6</sub>)alkyl;
- R<sup>4</sup> and R<sup>6</sup> are each independently selected from H, (C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl-(C<sub>i-6</sub>)alkyl-, aryl, **Het**, and aryl-(C<sub>1-6</sub>)alkyl-; wherein said (C<sub>1-6</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-6</sub>)alkyl-, aryl and aryl-(C<sub>1-6</sub>)alkyl- are optionally substituted with one or more substituents

independently selected from halogen, (C<sub>1-6</sub>)alkyl, hydroxy, cyano,  
O-(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-4</sub>)alkyl, -N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -CO-NH<sub>2</sub>,  
-CO-NH(C<sub>1-4</sub>)alkyl, -CO-N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -COOH, and -COO(C<sub>1-6</sub>)alkyl;  
or

5 R<sup>4</sup> and R<sup>6</sup> are linked, together with the nitrogen to which they are bonded, to  
form a 3- to 7-membered monocyclic saturated or unsaturated  
heterocycle optionally fused to at least one other cycle to form a  
heteropolycycle, said heterocycle and heteropolycycle optionally  
10 containing from one to three further heteroatoms independently  
selected from N, S and O, and said 3- to 7-membered monocyclic  
saturated or unsaturated heterocycle being optionally substituted with  
one or more substituents independently selected from halogen,  
(C<sub>1-6</sub>)alkyl, hydroxy, cyano, O-(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-4</sub>)alkyl,  
-N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -CO-NH<sub>2</sub>, -CO-NH(C<sub>1-4</sub>)alkyl, -CO-N((C<sub>1-4</sub>)alkyl)<sub>2</sub>,  
15 -COOH, and -COO(C<sub>1-6</sub>)alkyl;

with the proviso that when:

R<sup>5</sup> is B-O-C(=O)- or B-N(R<sup>51</sup>)-C(=O)-, wherein

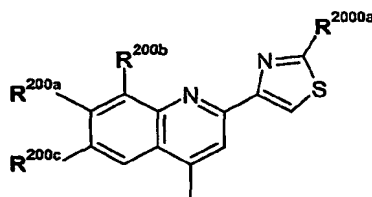
R<sup>51</sup> is H; and

20 B is selected from (C<sub>1-10</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, and  
(C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl,

- a) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally  
mono-, di- or tri-substituted with (C<sub>1-3</sub>)alkyl; and  
b) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally  
mono- or di-substituted with substituents selected from hydroxy and  
25 O-(C<sub>1-4</sub>)alkyl; and  
c) wherein each of said alkyl groups may be mono-, di- or tri-  
substituted with halogen; and  
d) wherein in each of said cycloalkyl groups being 4-, 5-, 6- or 7-  
membered, one (for the 4-, 5-, 6-, or 7-membered) or two (for the 5-,  
30 6- or 7-membered) -CH<sub>2</sub>-groups not directly linked to each other  
may be replaced by -O- to provide a heterocycle, such that the O-  
atom is linked to the -O-C(=O) or -N(R<sup>51</sup>)-C(=O) group via at least  
two carbon atoms; and

R<sup>2</sup> is O-R<sup>20</sup>; then

R<sup>20</sup> cannot be



wherein

R<sup>200a</sup> is H, halogen, (C<sub>1-4</sub>)alkyl, -OH, -O-(C<sub>1-4</sub>)alkyl, -NH<sub>2</sub>, -NHCd<sup>a</sup>alkyl  
or -N((C<sub>1-4</sub>)alkyl)<sub>2</sub>;

R<sup>200b</sup>, R<sup>200c</sup> are each independently halogen, cyano, (C<sub>1-4</sub>)alkyl,  
-O-(C<sub>1-4</sub>)alkyl, -S-(C<sub>1-4</sub>)alkyl, -SO-(C<sub>1-4</sub>)alkyl, or -SO<sub>2</sub>-(C<sub>1-4</sub>)alkyl,  
wherein each of said alkyl groups is optionally substituted with  
from one to three halogen atoms; and either R<sup>200b</sup> or R<sup>200c</sup> (but  
not both at the same time) may also be H; or

R<sup>200a</sup> and R<sup>200b</sup> or

R<sup>200a</sup> and R<sup>200c</sup> may be covalently bonded to form, together with the two  
C-atoms to which they are linked, a 5- or 6-membered  
carbocyclic ring wherein one or two -CH<sub>2</sub>-groups not being  
directly linked to each other may be replaced each  
independently by -O- or NR<sup>a</sup> wherein R<sup>a</sup> is H or (C<sub>1-4</sub>)alkyl, and  
wherein said carbo- or heterocyclic ring is optionally mono- or  
di-substituted with (C<sub>1-4</sub>)alkyl; and

R<sup>200a</sup> is R<sup>2003</sup> -N(R<sup>2002</sup>)COR<sup>2003</sup> -N(R<sup>2002</sup>)COR<sup>2003</sup> -N(R<sup>2002</sup>)COR<sup>2003</sup> -N(R<sup>2002</sup>)COR<sup>2003</sup>, or  
-N(R<sup>2002</sup>)CON(R<sup>2002</sup>)(R<sup>2004</sup>), wherein

R<sup>2002</sup> is H or methyl;

R<sup>2003</sup> is (C<sub>1-8</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl or (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl  
wherein said (C<sub>3-7</sub>)cycloalkyl and (C<sub>3-7</sub>)cycloalkyl-(C<sub>1-4</sub>)alkyl- are  
optionally mono-, di-, or tri-substituted with (C<sub>1-3</sub>)alkyl; and

R<sup>2004</sup> is H or R<sup>2003</sup>;

wherein Het is defined as a 3- to 7-membered heterocycle having 1 to 4  
heteroatoms each independently selected from O, N and S, which may be  
saturated, unsaturated or aromatic, and which is optionally fused to at least  
one other cycle to form a 4- to 14-membered heteropolycycle having wherever  
possible 1 to 5 heteroatoms, each independently selected from O, N and S,



said heteropolycycle being saturated, unsaturated or aromatic;  
or a diastereomer thereof or a salt thereof.

17. The compound according to claim 1 wherein:

5  $R^5$  is selected from  $B-C(=O)-$ ,  $B-O-C(=O)-$ , and  $B-NH-C(=O)-$ ; wherein B is selected from:

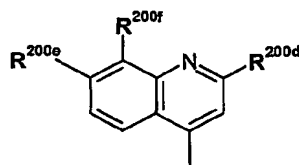
- (i)  $(C_{1-10})$ alkyl optionally substituted with one or more substituents each selected independently from  $-COOH$ ,  $-COO(C_{1-6})$ alkyl,  $-OH$ , halogen,  $-OC(=O)(C_{1-6})$ alkyl,  $-O(C_{1-6})$ alkyl,  $-NH_2$ ,  $-NH(C_{1-6})$ alkyl,  $-N((C_{1-6})alkyl)_2$ ,  $-C(=O)NH_2$ ,  $-C(=O)NH(C_{1-6})$ alkyl and  $-C(=O)N((C_{1-6})alkyl)_2$ ;
- 10 (ii)  $(C_{3-7})$ cycloalkyl, or  $(C_{3-7})$ cycloalkyl- $(C_{1-4})$ alkyl-, each optionally substituted with one or more substituents each selected independently from  $(C_{1-6})$ alkyl, halogen,  $-COOH$ ,  $-COO(C_{1-6})$ alkyl,  $-OH$ ,  $-O(C_{1-6})$ alkyl,  $-NH_2$ ,  $-NH(C_{1-6})$ alkyl,  $-N((C_{1-6})alkyl)_2$ ,  $-C(=O)NH_2$ ,  $-C(=O)NH(C_{1-6})$ alkyl and  $-C(=O)N((C_{1-6})alkyl)_2$ ;
- 15 (iii) aryl or aryl- $(C_{1-6})$ alkyl-, each optionally substituted with one or more substituents each selected independently from  $(C_{1-6})$ alkyl,  $-OH$ ,  $-NH_2$ ,  $-NH(C_{1-6})$ alkyl,  $-N((C_{1-6})alkyl)_2$ ,  $-C(=O)NH_2$ ,  $-C(=O)NH(C_{1-6})$ alkyl and  $-C(=O)N((C_{1-6})alkyl)_2$ ;
- 20 (iv) Het or Het- $(C_{1-6})$ alkyl-, each optionally substituted with one or more substituents each selected independently from  $(C_{1-6})$ alkyl,  $-OH$ ,  $-NH_2$ ,  $-NH(C_{1-6})$ alkyl,  $-N((C_{1-6})alkyl)_2$ ,  $-C(=O)NH_2$ ,  $-C(=O)NH(C_{1-6})$ alkyl and  $-C(=O)N((C_{1-6})alkyl)_2$ ;

Y is H;

25  $R^3$  is  $(C_{1-6})$ alkyl or  $(C_{3-7})$ cycloalkyl, each of which are optionally substituted with one or more substituents each independently selected from  $(C_{1-6})$ alkyl,  $-OR^{30}$ , and  $-C(=O)OR^{30}$ , wherein  $R^{30}$  is H,  $(C_{1-6})$ alkyl, or aryl- $(C_{1-6})$ alkyl-;

$R^2$  is  $-O-X-R^{20}$ , wherein X is  $(C_3)$ alkynyl and  $R^{20}$  is  $(C_6$  or  $C_{10})$ aryl; or

30  $R^2$  is  $-O-R^{20}$  wherein  $R^{20}$  is



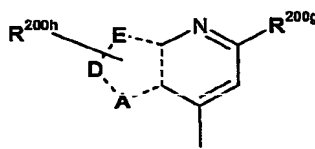
wherein

$R^{200d}$  is  $-OR^{201}$ , wherein  $R^{201}$  is  $(C_{1-6})$ alkyl;

$R^{200e}$  is H or  $-OR^{201}$ , wherein  $R^{201}$  is  $(C_{1-6})$ alkyl; and

$R^{200f}$  is  $(C_{1-6})$ alkyl, halogen,  $-SR^{201}$ ,  $-SO_2R^{201}$ , or  $-OR^{201}$ , wherein  $R^{201}$  is  $(C_{1-6})$ alkyl optionally further substituted with  $(C_{3-7})$ cycloalkyl or phenyl;

or  $R^{20}$  is



wherein

one of A, D, and E represents a S atom and the other two of A, D, and E represent C atoms;

— represents a single bond between a C atom and an S atom, and

represents a single bond or a double bond between two C atoms;

provided that each C atom is bonded by one double bond;

$R^{200g}$  is H or  $-OR^{201}$ , wherein  $R^{201}$  is  $(C_{1-6})$ alkyl or  $(C_{2-6})$ alkenyl; and

$R^{200h}$  is one or two substituents each independently selected from H, cyano,  $(C_{1-6})$ alkyl and  $-SO_2(C_{1-6})$ alkyl; wherein each  $R^{200h}$  is bonded to a C atom which would otherwise bear a hydrogen atom;

$R^1$  is  $(C_{2-6})$ alkenyl or  $(C_{2-6})$ alkyl;

n is 1;

m is 2; and

$R^4$  and  $R^6$  are each independently selected from H,  $(C_{1-6})$ alkyl,  $-O-(C_{1-6})$ alkyl,

$(C_{3-7})$ cycloalkyl,  $(C_{3-7})$ cycloalkyl- $(C_{1-6})$ alkyl-, aryl and aryl- $(C_{1-6})$ alkyl-;

wherein said  $(C_{1-6})$ alkyl,  $(C_{3-7})$ cycloalkyl,  $(C_{3-7})$ cycloalkyl- $(C_{1-6})$ alkyl-,

aryl and aryl- $(C_{1-6})$ alkyl- are optionally substituted with one to three

substituents independently selected from halogen,  $(C_{1-6})$ alkyl, hydroxy,

cyano,  $O-(C_{1-6})$ alkyl,  $-COOH$ , and  $-COO(C_{1-6})$ alkyl; or

$R^4$  and  $R^6$  are linked, together with the nitrogen to which they are bonded, to

form a 3- to 7-membered monocyclic saturated or unsaturated

heterocycle, said heterocycle optionally containing from one to three

further heteroatoms each independently selected from N, S and O, and

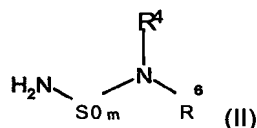
said 3- to 7-membered monocyclic saturated or unsaturated

heterocycle being optionally substituted with one to three substituents each independently selected from halogen, (C<sub>1-6</sub>)alkyl, hydroxy, cyano, O-(C<sub>1-6</sub>)alkyl, -NH<sub>2</sub>, -NH(C<sub>1-4</sub>)alkyl, -N((C<sub>1-4</sub>)alkyl)<sub>2</sub>, -COOH, and -COO(C<sub>1-6</sub>)alkyl;

5 or a diastereomer thereof or a salt thereof.

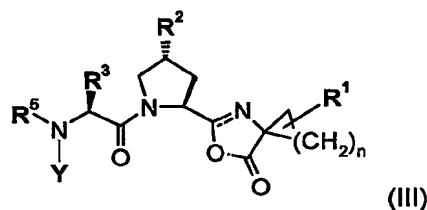
18. A pharmaceutical composition comprising an anti-hepatitis C virally effective amount of a compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof; and a pharmaceutically acceptable carrier medium or auxiliary agent.
- 10
19. The pharmaceutical composition according to claim 18 additionally comprising a therapeutically effective amount of at least one other antiviral agent.
- 15 20. A method of treating or preventing a hepatitis C viral infection in a mammal by administering to the mammal an anti-hepatitis C virally effective amount of a compound according to one or more of claims 1 to 17, a pharmaceutically acceptable salt thereof, or a composition thereof.
- 20 21. Use of a compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof, for the treatment or prevention of hepatitis C viral infection in a mammal.
22. Use of a compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment or prevention of hepatitis C viral infection in a mammal.
- 25
23. A method of inhibiting the replication of hepatitis C virus by exposing the virus to a hepatitis C viral NS3 protease inhibiting amount of the compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof.
- 30

24. Use of a compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof, to inhibit the replication of hepatitis C virus.
- 5 25. An article of manufacture comprising a composition effective to treat an HCV infection or to inhibit the NS3 protease of HCV; and packaging material comprising a label which indicates that the composition can be used to treat infection by the hepatitis C virus; wherein the composition comprises a compound according to one or more of claims 1 to 17 or a pharmaceutically acceptable salt thereof.
- 10
26. A process for the preparation of a compound according to one or more of claims 1 to 17, comprising:
- a) reacting a compound of formula (II):



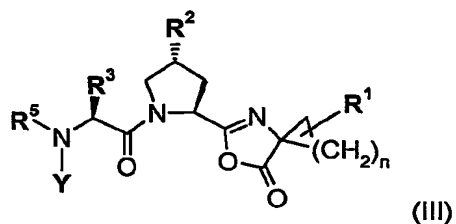
wherein  $R^4$ ,  $R^6$  and  $m$  are defined as in claim 1, with a strong base so as to form the corresponding amide anion and

- b) reacting an azalactone of formula (III):



- 20 wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^5$ ,  $Y$  and  $n$  are defined as in claim 1, with the amide anion formed in step a).

27. An azalactone intermediate compound of formula (III):



wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^5$ ,  $Y$  and  $n$  are defined as in claim 1.

- 5 28. Use of the azalactone intermediate compound according to claim 27 in the preparation of an HCV NS3 protease inhibitor peptide analog.